

07/01/2005

10613414.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within
STN Express with Discover!
NEWS 4 OCT 28 KOREAPAT now available on STN
NEWS 5 NOV 30 PHAR reloaded with additional data
NEWS 6 DEC 01 LISA now available on STN
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004
NEWS 8 DEC 15 MEDLINE update schedule for December 2004
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and
February 2005

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:36:30 ON 07 JAN 2005

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=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:36:44 ON 07 JAN 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 JAN 2005 HIGHEST RN 808732-83-4

DICTIONARY FILE UPDATES: 5 JAN 2005 HIGHEST RN 808732-83-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

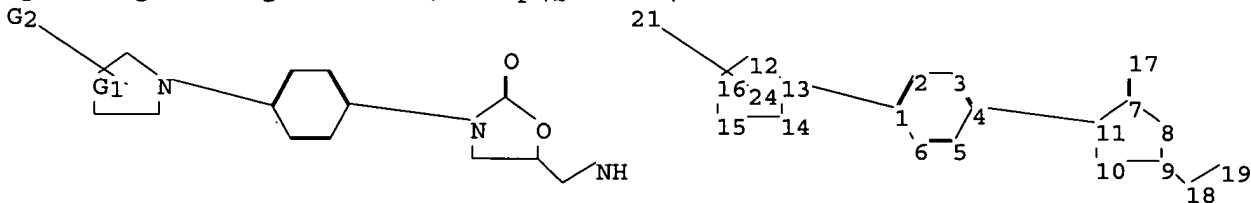
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10613414.str



chain nodes :

17 18 19 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

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1-13 4-11 7-17 9-18 18-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14
14-15 15-16

exact/norm bonds :

1-13 4-11 7-8 7-11 7-17 8-9 9-10 9-18 10-11 12-13 12-16 13-14 14-15
15-16 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 : 12 :

G1:O,S,N,CH2,CH

G2:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
21:CLASS 24:CLASS

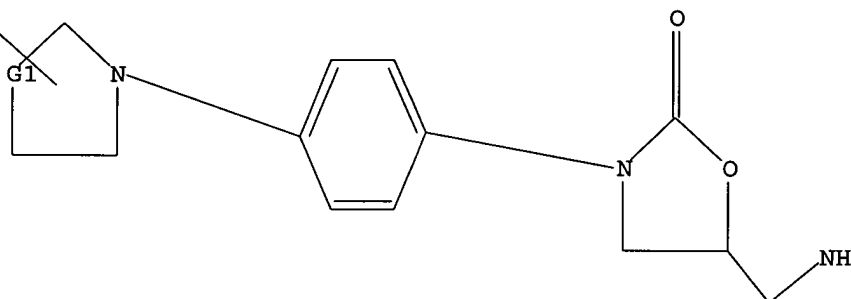
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G2



G1 O,S,N,CH2,CH

G2 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:37:44 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 53 TO ITERATE

100.0% PROCESSED 53 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

07/01/2005

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 624 TO 1496
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:37:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1184 TO ITERATE

100.0% PROCESSED 1184 ITERATIONS
SEARCH TIME: 00.00.01

27 ANSWERS

L3 27 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
161.76	161.97

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:37:57 ON 07 JAN 2005
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FILE COVERS 1907 - 7 Jan 2005 VOL 142 ISS 3
FILE LAST UPDATED: 6 Jan 2005 (20050106/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4

6 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:430626 CAPLUS

DOCUMENT NUMBER: 141:7113

TITLE: Preparation of novel heterocyclic compounds having antibacterial activity

INVENTOR(S): Selvakumar, Natesan; Das, Jagattaran; Trehan, Sanjay; Iqbal, Javed; Kumar, Magadi Sitaram; Rajagopalan, Ramanujam; Rao, Mamidi Naga Venkata Srinivasa
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.

SOURCE: U.S. Pat. Appl. Publ., 100 pp., Cont.-in-part of U.S.

07/01/2005

10613414.trn

Pat. Appl. 2003 65,175.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

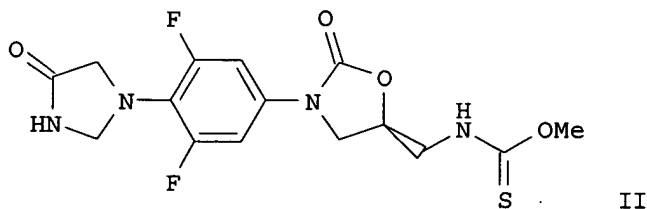
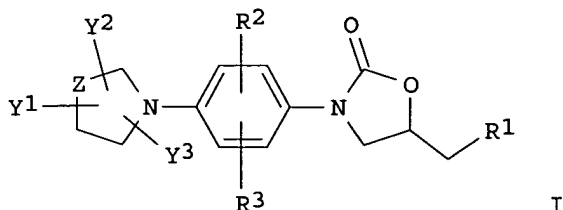
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004102494	A1	20040527	US 2003-613414	20030703
US 2003065175	A1	20030403	US 2001-32392	20011221
US 2004059120	A1	20040325	US 2003-632950	20030801
PRIORITY APPLN. INFO.:			IN 2000-MA1124	A 20001226
			IN 2001-MA15	A 20010115
			US 2001-32392	A2 20011221
OTHER SOURCE(S):	MARPAT 141:7113			
GI				



AB The title compds. [I; R1 = NHR4 (wherein R4 = thioacyl, C(S)cycloalkoxy, C(S)aryloxy, etc.); R2, R3 = H, halo, alkyl, etc.; Y1 = O, S; Y2, Y3 = H, halo, CN, etc.; Z = O, S, CH, CH2, (un)substituted NH], useful for inhibiting the growth of bacteria in a subject having a bacterial infection (MIC values given for some of the compds. I), were prepared E.g., a multi-step synthesis of II was given. The pharmaceutical composition comprising the compound I is claimed.

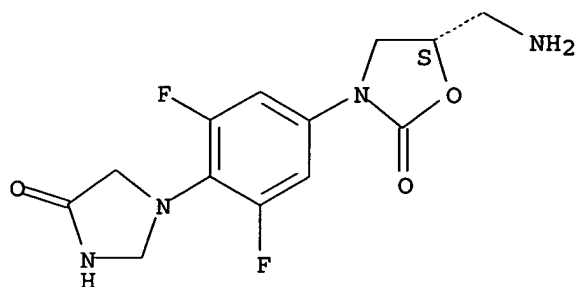
IT 693787-27-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel 4-(4-oxoimidazol-1-yl)phenyl substituted oxazolidinones having antibacterial activity)

RN 693787-27-8 CAPLUS

CN 2-Oxazolidinone, 5-(aminomethyl)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 439903-85-2P 693787-28-9P 693787-29-0P
 693787-36-9P 693787-37-0P 693787-38-1P
 693787-39-2P 693787-40-5P 693787-43-8P
 693787-45-0P 693787-52-9P 693787-53-0P
 693787-54-1P 693787-58-5P 693787-59-6P
 693787-61-0P 693787-62-1P 693787-63-2P
 693787-78-9P 693787-79-0P

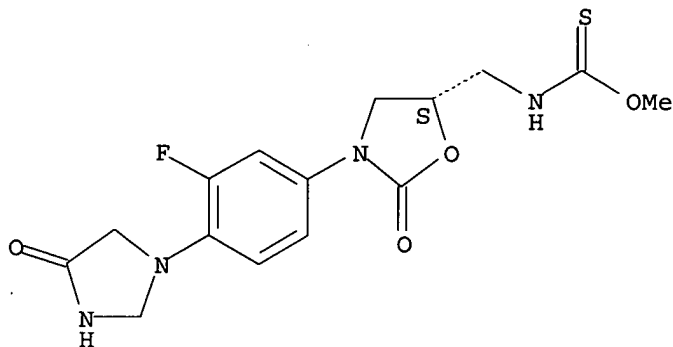
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 4-(4-oxoimidazol-1-yl)phenyl substituted oxazolidinones having antibacterial activity)

RN 439903-85-2 CAPLUS

CN Carbamothioic acid, [[(5S)-3-[3-fluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



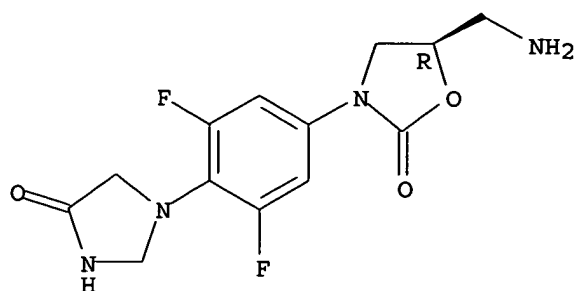
RN 693787-28-9 CAPLUS

CN 2-Oxazolidinone, 5-(aminomethyl)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

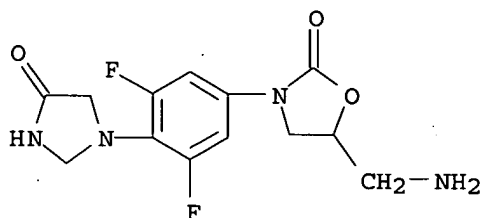
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RN 693787-29-0 CAPLUS

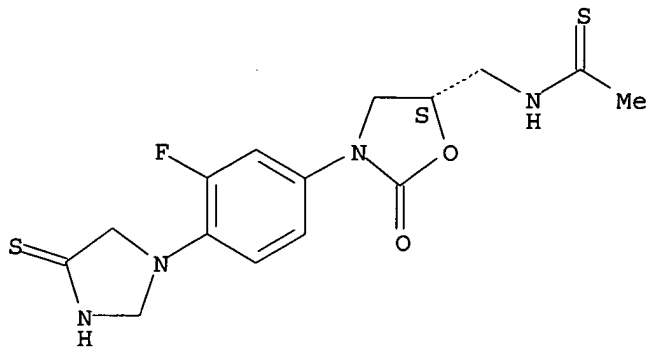
CN 2-Oxazolidinone, 5-(aminomethyl)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 693787-36-9 CAPLUS

CN Ethanethioamide, N-[[[(5S)-3-[3-fluoro-4-(4-thioxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

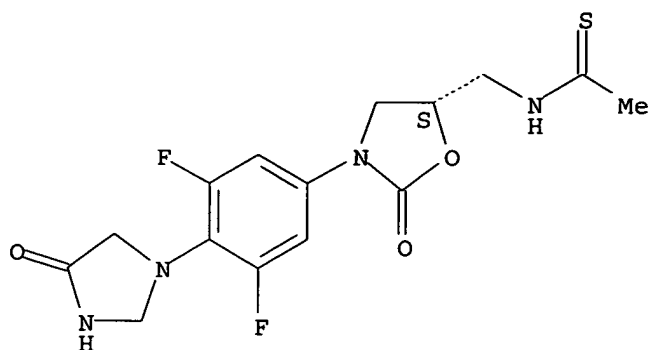
Absolute stereochemistry.



RN 693787-37-0 CAPLUS

CN Ethanethioamide, N-[[[(5S)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

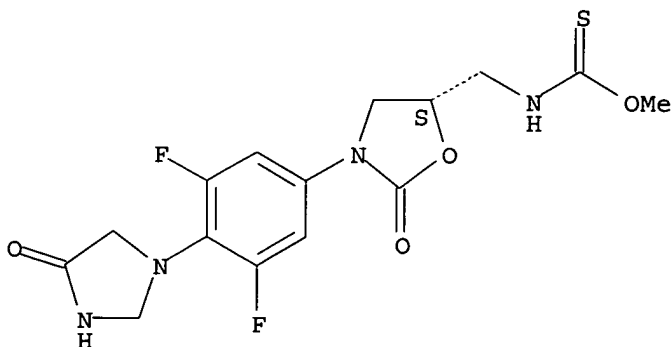
Absolute stereochemistry.



RN 693787-38-1 CAPLUS

CN Carbamothioic acid, [[(5S)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI)
(CA INDEX NAME)

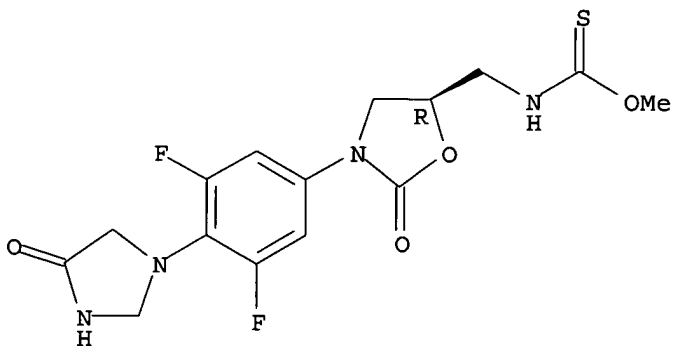
Absolute stereochemistry.



RN 693787-39-2 CAPLUS

CN Carbamothioic acid, [[(5R)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

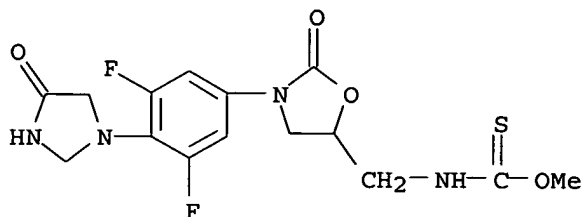


07/01/2005

10613414.trn

RN 693787-40-5 CAPLUS

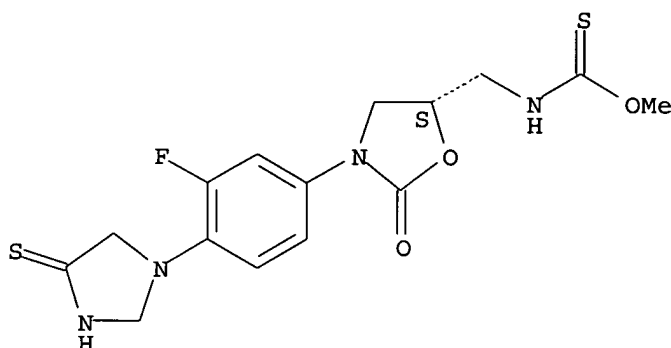
CN Carbamothioic acid, [[3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)



RN 693787-43-8 CAPLUS

CN Carbamothioic acid, [[(5S)-3-[3-fluoro-4-(4-thioxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

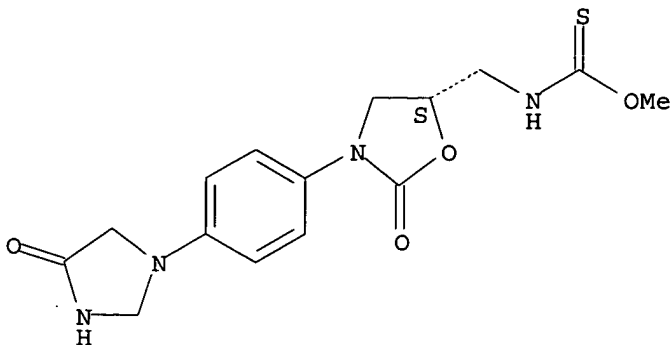
Absolute stereochemistry.



RN 693787-45-0 CAPLUS

CN Carbamothioic acid, [[(5S)-2-oxo-3-[4-(4-oxo-1-imidazolidinyl)phenyl]-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 693787-52-9 CAPLUS

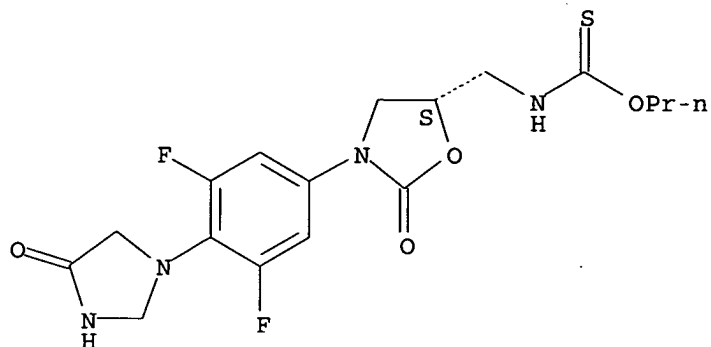
CN Carbamothioic acid, [[(5S)-3-[3,5-difluoro-4-(4-oxo-1-

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imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-, O-propyl ester (9CI)
(CA INDEX NAME)

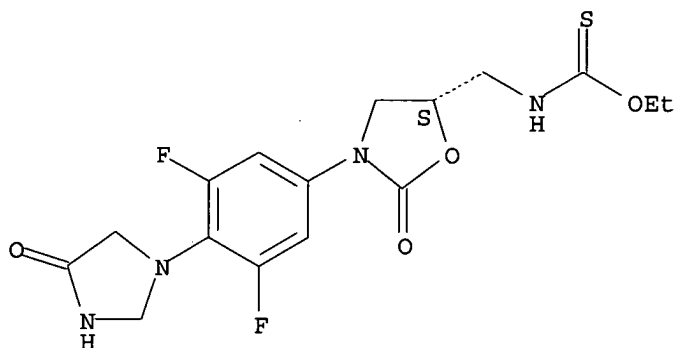
Absolute stereochemistry.



RN 693787-53-0 CAPLUS

CN Carbamothioic acid, [[(5S)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-, O-ethyl ester (9CI)
(CA INDEX NAME)

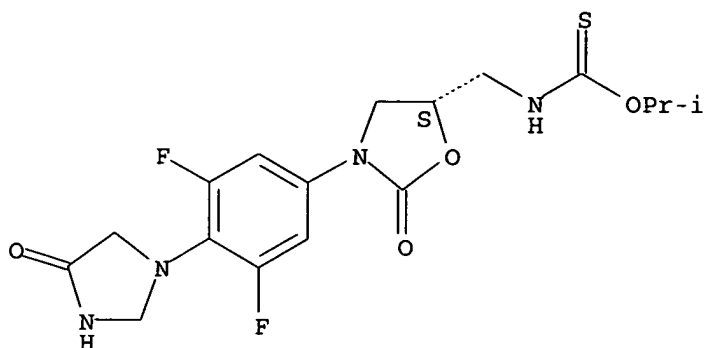
Absolute stereochemistry.



RN 693787-54-1 CAPLUS

CN Carbamothioic acid, [[(5S)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-, O-(1-methylethyl) ester (9CI) (CA INDEX NAME)

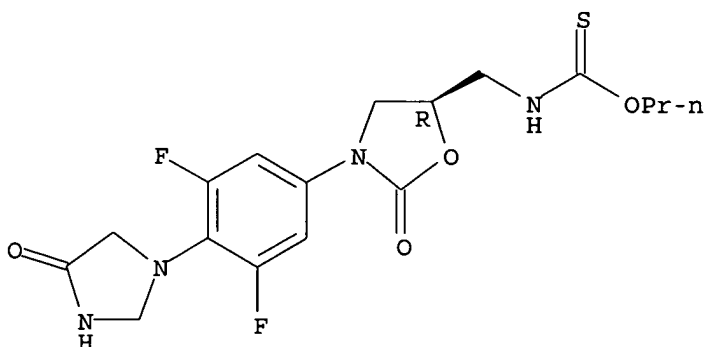
Absolute stereochemistry.



RN 693787-58-5 CAPLUS

CN Carbamothioic acid, [(5R)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-propyl ester (9CI)
(CA INDEX NAME)

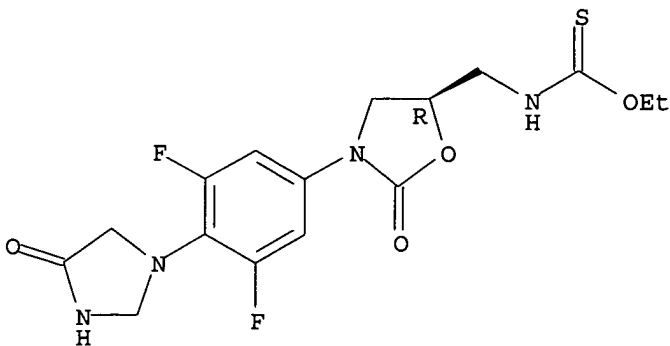
Absolute stereochemistry.



RN 693787-59-6 CAPLUS

CN Carbamothioic acid, [(5R)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-ethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

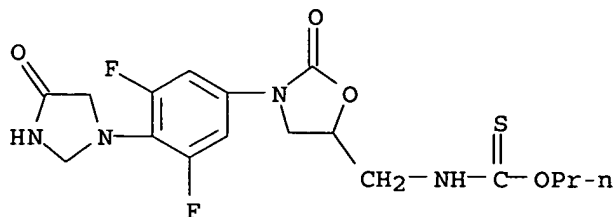


07/01/2005

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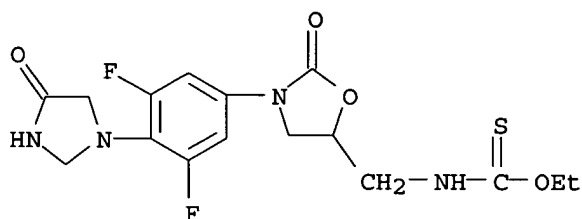
RN 693787-61-0 CAPLUS

CN Carbamothioic acid, [[3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-propyl ester (9CI) (CA INDEX NAME)



RN 693787-62-1 CAPLUS

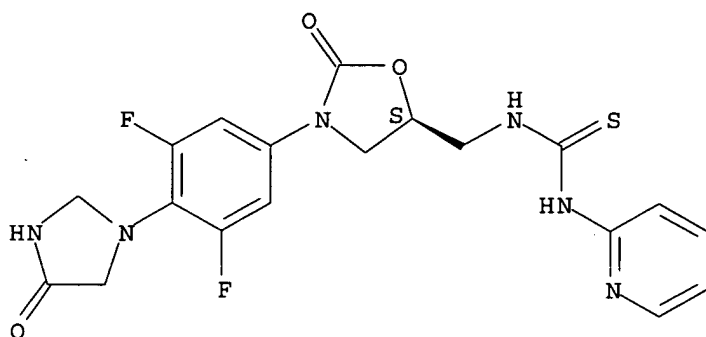
CN Carbamothioic acid, [[3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-ethyl ester (9CI) (CA INDEX NAME)



RN 693787-63-2 CAPLUS

CN Thiourea, N-[[[(5S)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N'-2-pyridinyl]- (9CI) (CA INDEX NAME)

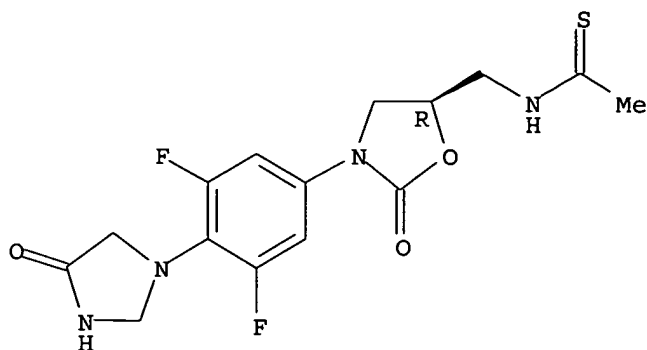
Absolute stereochemistry.



RN 693787-78-9 CAPLUS

CN Ethanethioamide, N-[[[(5R)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

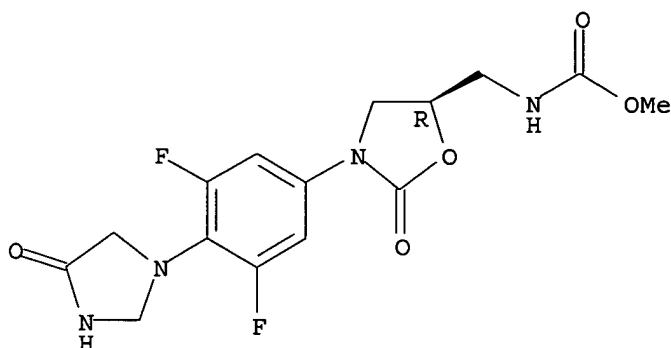
Absolute stereochemistry.



RN 693787-79-0 CAPLUS

CN Carbamic acid, [[(5R)-3-[3,5-difluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:333714 CAPLUS

DOCUMENT NUMBER: 140:357327

TITLE: Preparation of bicyclic[3.1.0]oxazolidinones and related compounds as antibacterial agents

INVENTOR(S): Gordeev, Mikhail Fedor; Renslo, Adam; Patel, Dinesh
Vinoobhai

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033451	A1	20040422	WO 2003-US28560	20031003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,				

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OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004127530

A1

20040701

US 2003-677451

20031002

PRIORITY APPLN. INFO.:

US 2002-417735P

P 20021009

OTHER SOURCE(S):

MARPAT 140:357327

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R2, R3 = H, F; R4, R5 = H, Cl, F, etc.; R6, R7 = H, F, OH, etc.; R8 = H, F, OH, etc.; A = 5-methyl-2-oxazolidinonyl, 4,5-dihydro-5-Me-oxazolyl, dihydro-5-Me-2(3H)-furanonyl, etc.; B = (CH₂)_n; n = 0-1; X = N, CH; Y = N, O, S; Z = NHCOR1, NHCSR1, CONHR1, etc.; R1 = H, NH₂, NH-alkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, condensation of CBZ-protected benzenamine II, e.g., prepared from benzyl 3-pyrroline-1-carboxylate in 5-steps, and (S)-acetic acid 2-acetyl-amino-1-chloromethylethyl ester afforded oxazolidinone III in 62% yield. In *S. aureus* Min. Inhibitory Concentration (MIC) growth studies, 6-examples of compds. I exhibited MIC values

ranging from 1-8 µg/mL, i.e., the MIC value of oxazolidinone III was 1 µg/mL. Compds. I are claimed useful for the treatment of skin and eye infections.

IT 681425-61-6P

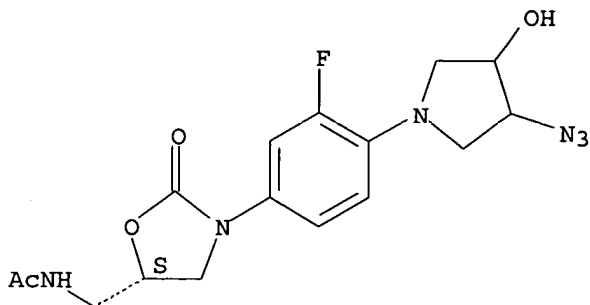
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic[3.1.0]oxazolidinones and related compds. as antibacterial agents)

RN 681425-61-6 CAPLUS

CN Acetamide, N-[[[(5S)-3-[4-(3-azido-4-hydroxy-1-pyrrolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:5775 CAPLUS

DOCUMENT NUMBER: 138:89797

07/01/2005

10613414.trn

TITLE: Preparation of substituted oxazolidinones for
combinational therapy in the treatment and/or
prophylaxis of thromboembolic diseases

INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pernerstorfer,
Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig,
Susanne; Schlemmer, Karl-Heinz

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000256	A1	20030103	WO 2002-EP6237	20020607
WO 2003000256	C2	20030206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10129725	A1	20030102	DE 2001-10129725	20010620
EE 200400020	A	20040415	EE 2004-20	20020607
EP 1411932	A1	20040428	EP 2002-738154	20020607
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002010941	A	20040608	BR 2002-10941	20020607
JP 2004534083	T2	20041111	JP 2003-506901	20020607
US 2004242660	A1	20041202	US 2004-481297	20040628
PRIORITY APPLN. INFO.:			DE 2001-10129725	A 20010620
			WO 2002-EP6237	W 20020607
OTHER SOURCE(S):	MARPAT 138:89797			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to combinations of (A) oxazolidinones I [R1 = 5-X-2-thienyl (X = Cl, Br, Me, CF₃); R2 = DA; A = phenylene; D = 5- or 6-membered heterocyclic ring containing S, N or O; R4 - R8 = H], or their pharmaceutically acceptable salts, hydrates, prodrugs or their mixts. and (B) other pharmaceutically active ingredients; to a method for producing said combinations; and to the use thereof as medicaments, in particular for the treatment and/or prophylaxis of thrombo-embolic diseases. Thus, the claimed oxazolone II was prepared from epoxide III via epoxide ring opening with aniline derivative IV, cyclization with carbonyldiimidazole, and N-acylation with 5-chlorothiophene-2-sulfonyl chloride. II was tested for antithrombotic activity in the arteriovenous shunt model (Rat) after [ED₅₀ = 3 mg/kg (p.o.); IC₅₀ = 0.7 nM]; II had a synergistic effect when used in combination with clopidogrel.

IT 482306-69-4P

07/01/2005

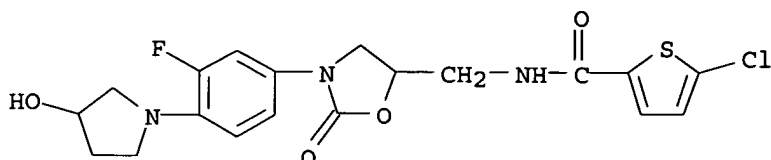
10613414.trn

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and pharmacol. activity of; preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases)

RN 482306-69-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[3-[3-fluoro-4-(3-hydroxy-1-pyrrolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:504766 CAPLUS

DOCUMENT NUMBER: 137:78944

TITLE:

INVENTOR(S):

Preparation of aryloxazolones as antibacterials.
Natesan, Selvakumar; Das, Jagattaran; Iqbal, Javed;
Magadi, Sitaram Kumar; Mamidi, Naga Venkata Srinivasa
Rao; Ramanujam, Rajagopalan; Sundarababu, Baskaran;
Lohray, Braj Bhushan

PATENT ASSIGNEE(S): Dr. Reddy's Research Foundation, India; Dr. Reddy's Laboratories Ltd.

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051819	A2	20020704	WO 2001-IN227	20011226
WO 2002051819	A3	20021205		
WO 2002051819	C2	20030807		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2433138	AA	20020704	CA 2001-2433138	20011226
EP 1345913	A2	20030924	EP 2001-995805	20011226
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EE 200300254	A	20031215	EE 2003-254	20011226
BR 2001016571	A	20040302	BR 2001-16571	20011226

07/01/2005

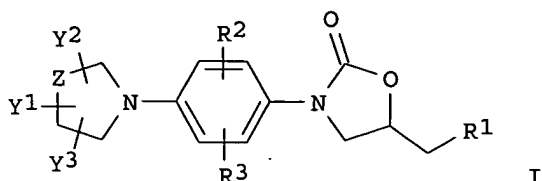
10613414.trn

JP 2004525876
NO 2003002926
PRIORITY APPLN. INFO.:

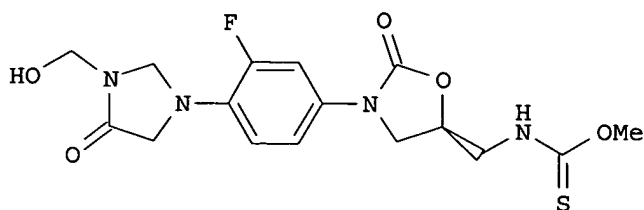
T2 20040826
A 20030825

JP 2002-552914 20011226
NO 2003-2926 20030625
IN 2000-MA1124 A 20001226
IN 2001-MA15 A 20010115
WO 2001-IN227 W 20011226

OTHER SOURCE(S): MARPAT 137:78944
GI



I



II

AB Title compds. [I; R1 = halo, N3, SCN, SH, OR4, NHR4, N(R4)2; R4 = H, (substituted) acyl, thioacyl, alkoxycarbonyl, cycloalkoxythiocarbonyl, alkenyloxycarbonyl, alkenylcarbonyl, aryloxycarbonyl, alkoxythiocarbonyl, alkenyloxythiocarbonyl, aryloxythiocarbonyl, COCOA, COCOAr, COCOAlk, COCOArO, CS2A, CSNH2, CSNHA, CSNA2, CSNHak, CSCOAlk, CSCOArO, CSO2CA, CSCSA, CSCSAr, thiomorpholinylthiocarbonyl, pyrrolidinylthiocarbonyl; A = alkyl; Ar = aryl; Alk = alkoxy; Ak = alkenyl; R2, R3 = H, halo, alkyl, haloalkyl, cyano, nitro, SRa, NRa, ORa; Ra = (substituted) alkyl, haloalkyl; Z = S, O, CH, NRb; Rb = H, (substituted) alkyl, alkenyl, cycloalkyl, alkoxy, aryl, aralkyl, aryloxy, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxycarbonyl; Y1 = O, S; Y2, Y3 = H, halo, cyano, NO2, formyl, OH, amino, O, S, (substituted) alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylamino, dialkylamino, arylamino, alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl heterocycloalkyl; adjacent Y2Y3 form a (substituted) 5-6 membered aromatic or nonarom. cyclic structure, optionally containing 1-2 heteroatoms], were prepared Thus, title compound (II) (general preparation given) showed a min. inhibitory concentration of 0.25 µg/mL

against

Staphylococcus aureus 019 MRSA.

IT 439903-85-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryloxazolones as antibacterials)

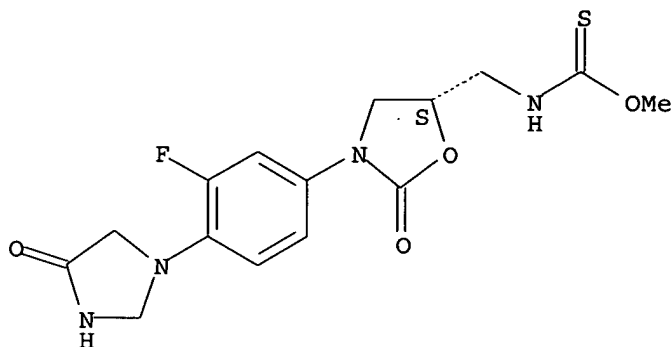
RN 439903-85-2 CAPLUS

CN Carbamothioic acid, [[[5S]-3-[3-fluoro-4-(4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, O-methyl ester (9CI) (CA INDEX NAME)

07/01/2005

10613414.trn

Absolute stereochemistry.



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:324112 CAPLUS

DOCUMENT NUMBER: 126:293348

TITLE: Preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs

INVENTOR(S): Gadwood, Robert C.; Kamdar, Bharat V.

PATENT ASSIGNEE(S): Upjohn Co., USA; Gadwood, Robert C.; Kamdar, Bharat V.

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9710223	A1	19970320	WO 1996-US14135	19960909
W: AL, AM, AT, AU, AZ , BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
AU 9669640	A1	19970401	AU 1996-69640	19960909
JP 11512429	T2	19991026	JP 1996-511993	19960909
EP 1019385	A1	20000719	EP 1996-930676	19960909
EP 1019385	B1	20040114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
US 6277985	B1	20010821	US 1996-709998	19960909
AT 257829	E	20040115	AT 1996-930676	19960909
PT 1019385	T	20040630	PT 1996-930676	19960909
ES 2214546	T3	20040916	ES 1996-930676	19960909
US 2001051722	A1	20011213	US 2001-894019	20010628
US 6512112	B2	20030128		
US 2002107402	A1	20020808	US 2001-988078	20010628
US 6441188	B2	20020827		
US 2002120152	A1	20020829	US 2001-988079	20010628
US 6515135	B2	20030204		
US 2002177707	A1	20021128	US 2001-988076	20010628

07/01/2005

10613414.trn

US 6525193

B2 20030225

US 6518427

B1 20030211

US 2001-988077

20010628

PRIORITY APPLN. INFO.:

US 1995-3838P

P 19950915

US 1996-709998

A3 19960909

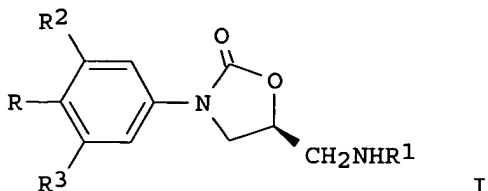
WO 1996-US14135

W 19960909

OTHER SOURCE(S):

MARPAT 126:293348

GI



AB Title compds. [I; R = N-attached-N-oxido-hetero(bi)cyclyl; R1 = CHO, Ac, CO2Me, etc.; R2,R3 = H, F, Cl] were prepared Thus, I (R = 4-hydroxyacetyl-1-piperazinyl, R1 = Ac, R2 = F, R3 = H) was oxidized to give I (R = 4-hydroxyacetyl-1-oxido-1-piperazinyl, R1 = Ac, R2 = F, R3 = H). Data for biol. activity of I were given.

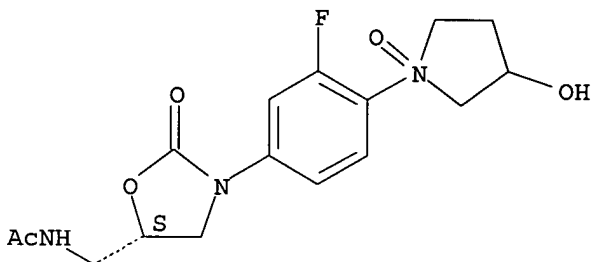
IT 189038-52-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)

RN 189038-52-6 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(3-hydroxy-1-oxido-1-pyrrolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, [1(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:476651 CAPLUS

DOCUMENT NUMBER: 125:142706

TITLE: Phenyloxazolidinone antimicrobials

INVENTOR(S): Hutchinson, Douglas K.; Barbachyn, Michael R.;
Taniguchi, Mikio; Munesada, Kiyotaka; Yamada,
Hiroyoshi; Brickner, Steven J.

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

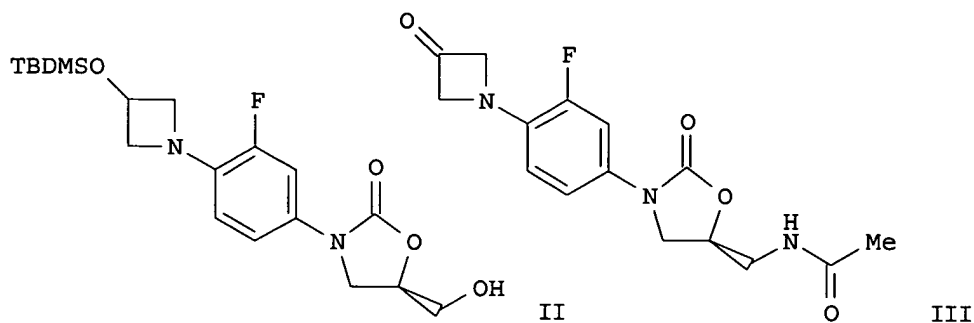
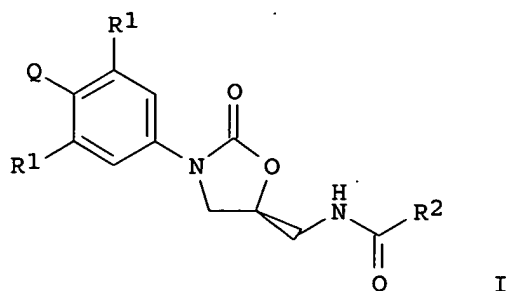
DOCUMENT TYPE: Patent

07/01/2005

10613414.trn

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613502	A1	19960509	WO 1995-US10992	19950912
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2200433	AA	19960509	CA 1995-2200433	19950912
AU 9536254	A1	19960523	AU 1995-36254	19950912
AU 694271	B2	19980716		
EP 788498	A1	19970813	EP 1995-933718	19950912
EP 788498	B1	20010816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1162312	A	19971015	CN 1995-195908	19950912
CN 1068325	B	20010711		
HU 77602	A2	19980629	HU 1997-2015	19950912
BR 9509136	A	19980721	BR 1995-9136	19950912
JP 10508017	T2	19980804	JP 1995-514540	19950912
RU 2134692	C1	19990820	RU 1997-108157	19950912
AT 204277	E	20010915	AT 1995-933718	19950912
ES 2162941	T3	20020116	ES 1995-933718	19950912
PT 788498	T	20020228	PT 1995-933718	19950912
PL 183512	B1	20020628	PL 1995-319873	19950912
SK 282869	B6	20030109	SK 1997-494	19950912
CZ 291847	B6	20030618	CZ 1997-1217	19950912
US 5883093	A	19990316	US 1997-913190	19970423
FI 9705774	A	19970425	FI 1997-1774	19970425
NO 9701946	A	19970625	NO 1997-1946	19970425
PRIORITY APPLN. INFO.:			US 1994-329717	A2 19941026
			WO 1995-US10992	W 19950912
OTHER SOURCE(S):	MARPAT 125:142706			
GI				



AB Title compds. I [Q = certain substituted 1-azetidinyl and 1-pyrrolidinyl substituents; R1 = H, OMe, F, Cl; R2 = H, (un)substituted alkyl, cycloalkyl, (di)(alkyl)amino, alkoxy] and their pharmaceutically acceptable salts are claimed. The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, particularly aerobic gram-pos. bacteria, including multiply-resistant staphylococci, enterococci and streptococci, as well as anaerobic organisms such as bacteroids and clostridia species, and acid-fast bacteria such as *Mycobacterium tuberculosis* and other mycobacterial species. For example, 1-(diphenylmethyl)-3-azetidinol-HCl underwent N-deprotection and N-arylation with 3,4-difluoronitrobenzene (65%), O-silylation with tert-BuSiMe₂Cl (74%), hydrogenation of the nitro group to an amine and N-benzyloxycarbonylation (43%), and lithiation and reaction with (R)-glycidyl butyrate (75%), to give intermediate oxazolidinylmethanol derivative II. This was subjected to O-mesylation and conversion to an azide (56%), hydrogenolysis of the azide and acetylation of the resulting amine (84%), desilylation, and oxidation of the deprotected alc. (47%), to give title compound III. The MIC values of III against *Staphylococcus aureus* UC 9213 and *Streptococcus pneumoniae* UC 9912 were 1 and 0.5 µg/mL, resp.

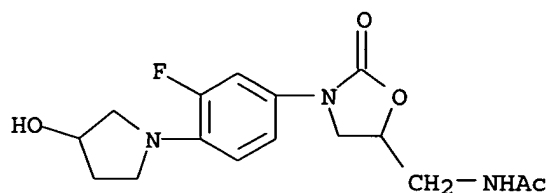
IT 179620-34-9P 179620-79-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; phenyloxazolidinone antimicrobials)

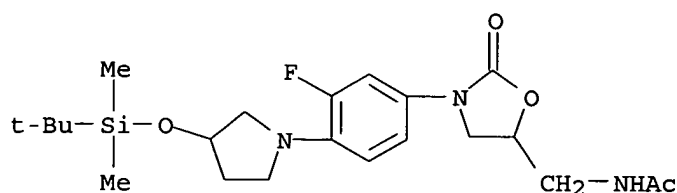
RN 179620-34-9 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(3-hydroxy-1-pyrrolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 179620-79-2 CAPLUS

CN Acetamide, N-[[3-[[4-[[3-[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-pyrrolidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)



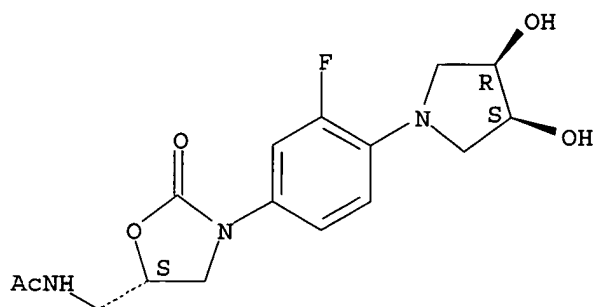
IT 179620-33-8P 179620-34-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phenyloxazolidinone antimicrobials)

RN 179620-33-8 CAPLUS

CN Acetamide, N-[[3-[[4-(3,4-dihydroxy-1-pyrrolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

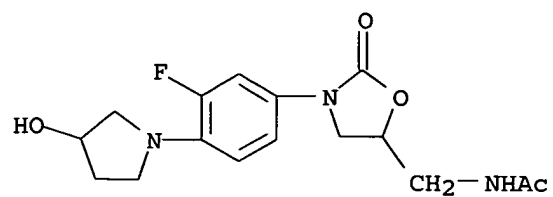


RN 179620-34-9 CAPLUS

CN Acetamide, N-[[3-[[3-fluoro-4-(3-hydroxy-1-pyrrolidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

30.09

192.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-4.38

-4.38

STN INTERNATIONAL LOGOFF AT 10:38:25 ON 07 JAN 2005